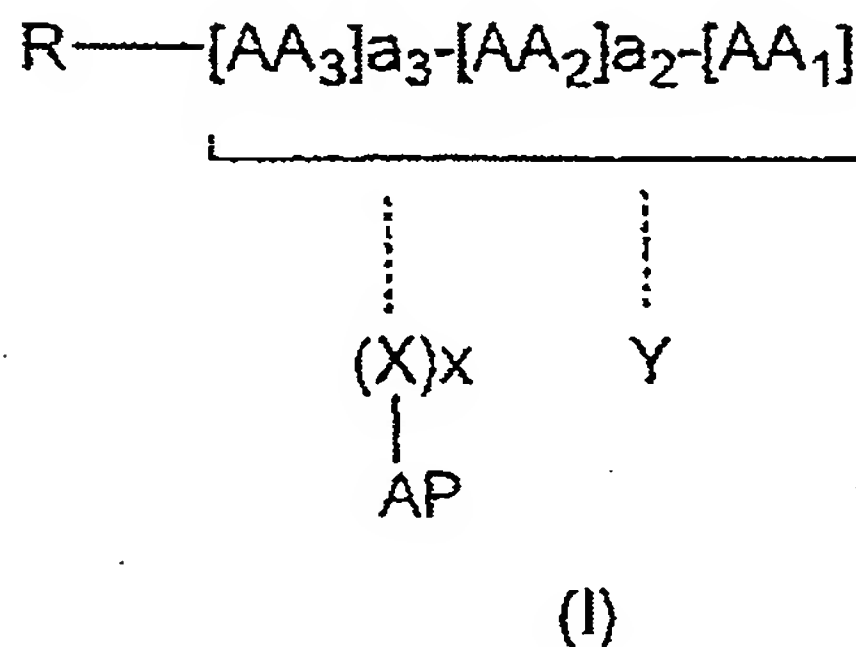


CLAIMS

1. A compound corresponding to formula (I) below:



5

in which:

AP represents an active principle capable of acting on a biological target;

x represents an integer chosen from 0 and 1;

10 X represents a peptide chain comprising from 1 to 5 amino acids;

AA₁, AA₂ and AA₃, which may be identical or different, each represent an amino acid;

15 a₂ and a₃, which may be identical or different, each represent an integer chosen from 0 and 1;

R represents a group chosen from:

- any molecule capable of being recognized by the target of the active principle AP,

and

20 - a hydrophilic agent for modulating the HLB balance of the molecule of formula (I), R being chosen from monosaccharides, aminated derivatives of sugars, polysaccharides, natural or synthetic hormones, peptides, antibodies, polyethers and polyols,

25 Y represents a fluorinated C₄-C₁₂ hydrocarbon-based chain containing a group $\begin{array}{c} \text{O} \\ \parallel \\ \text{---C---} \end{array}$, -NH-, -O-CO-NH-, S or O

that allows its attachment either to one of the ends of the peptide chain [AA₃]_{a₃}-[AA₂]_{a₂}-[AA₁], or to the side chain of one of the amino acids AA₁, AA₂ or AA₃;

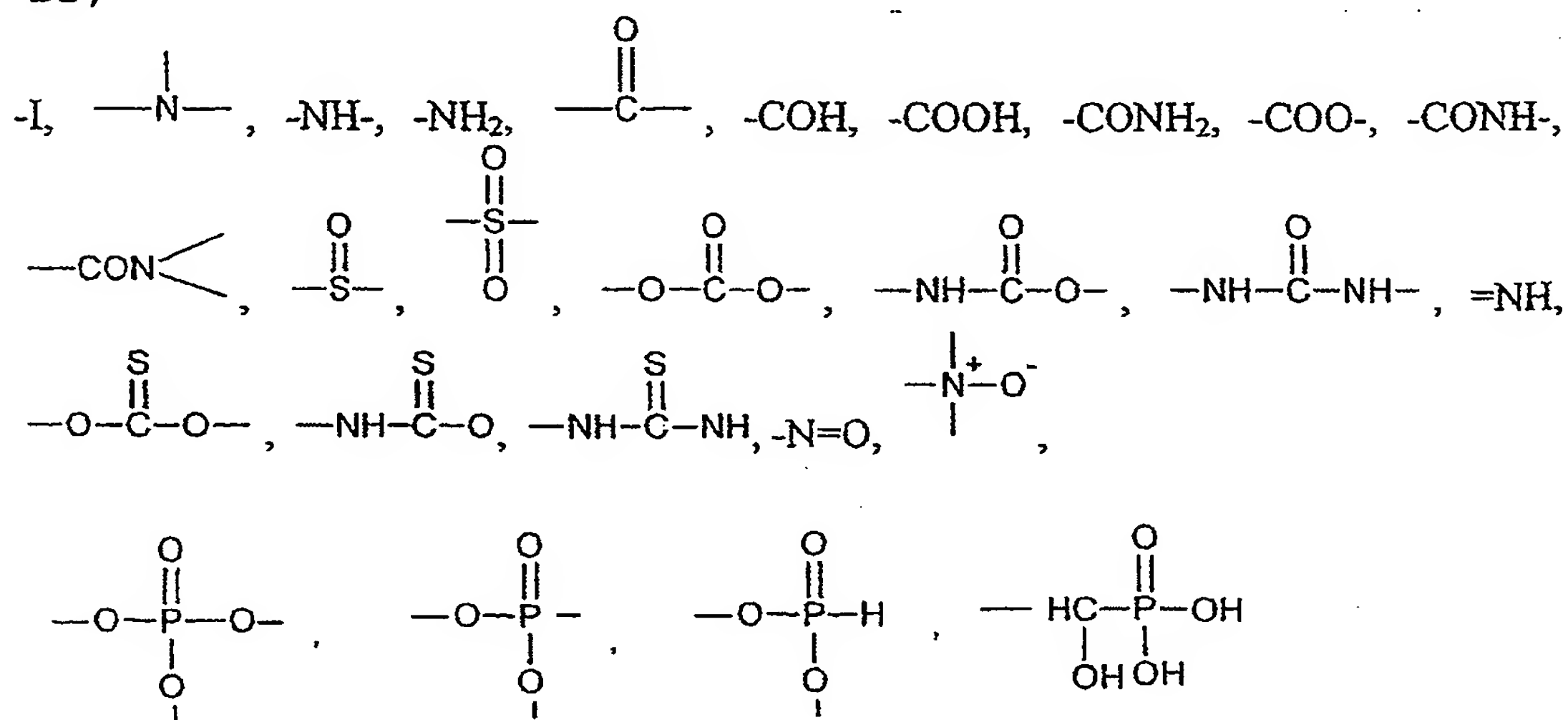
30 the linkage between AP-(X)_x and the chain [AA₃]_{a₃}-

[AA₂]_{a2}-[AA₁] occurring via the side chain of one of the amino acids AA₁, AA₂ or AA₃ or at the end of the peptide chain.

- 5 2. The compound as claimed in claim 1, characterized in that the active principle is chosen from those that have anticancer activity, or free-radical scavenger, anti-inflammatory, antiseptic, analgesic, neuroleptic or antifungal activity.

10

3. The compound as claimed in either one of claims 1 and 2, characterized in that the active principle is a linear, branched or cyclic molecule containing from 1 to 30 carbon atoms, one or more unsaturations, in particular one or more aromatic rings, and one or more functions chosen from: -O-, -S-, -OH, -SH, -Cl, -F, -Br,



- 20 4. The compound as claimed in any one of claims 1 to 3, characterized in that the amino acid attached to AP-(X)_x- or to Y via its side chain is chosen from those containing an acid, amide, amine, thiol or alcohol function on their side chain.

25

5. The compound as claimed in any one of claims 1 to 4, characterized in that the spacer arm X comprises 1 to 3 amino acids.

6. The compound as claimed in any one of claims 1 to 5, characterized in that R is a peptide chosen from antibody fragments or epitopes having a pronounced affinity for the AP's biological target.

5

7. The compound as claimed in claim 6, characterized in that it contains at least one peptide sequence chosen from the Arg-Gly-Asp sequence.

10

8. The compound as claimed in any one of claims 1 to 7, characterized in that R consists of a poly(ethylene oxide) chain comprising from 5 to 30 ethylene oxide units or of a polyol consisting of an alkyl chain comprising from 4 to 16 carbon atoms and from 4 to 16 hydroxyl groups.

15

9. The compound as claimed in any one of claims 1 to 8, characterized in that R is chosen from: glucose, fructose, mannose, galactose, ribose, glucosamine, lactose, cellobiose, maltose, lactobionamide and sucrose.

20

10. The compound as claimed in any one of claims 1 to 9, characterized in that at least one of the spacer arms X, of the peptide chain $[AA_3]_{a3}-[AA_2]_{a2}-[AA_1]$ and of R contains at least one tyrosine residue.

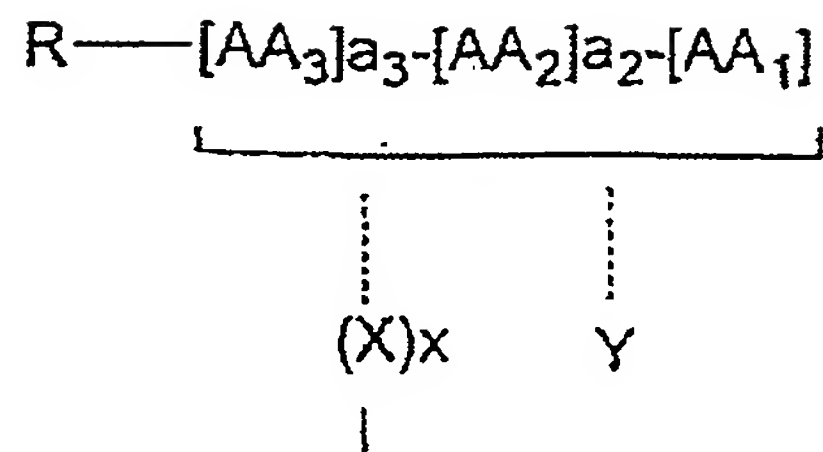
25

11. The compound as claimed in any one of claims 1 to 10, characterized in that the fluorinated hydrocarbon-based chain Y is chosen from those corresponding to the formula A-Y' in which A represents a group chosen from:
 $\begin{array}{c} \text{O} \\ \parallel \\ -\text{C}- \end{array}$, -NH-, -O-CO-NH-, S and O and Y' represents a molecule corresponding to the formula $-(\text{CH}_2)_t-(\text{CF}_2)_r\text{F}$, in which r and t represent two integers with: $12 \geq r+t \geq 4$.

30

35

12. A biologically active molecule comprising a fragment of formula (II):



(II)

in which x represents an integer chosen from 0 and 1;

X represents a peptide chain comprising from 1 to 5 amino acids;

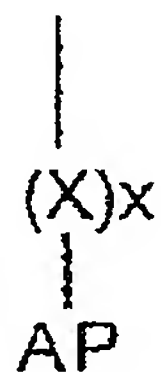
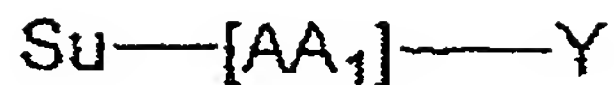
AA₁, AA₂ and AA₃, which may be identical or different, each represent an amino acid;

a₂ and a₃, which may be identical or different, each represent an integer chosen from 0 and 1;

R is chosen from monosaccharides, aminated derivatives of sugars, polysaccharides, polyethers, polyols, peptides, natural or synthetic hormones, and antibodies;

Y represents a fluorinated C₄-C₁₂ hydrocarbon-based chain containing a group $\begin{array}{c} \text{O} \\ \parallel \\ \text{C} \end{array}$ -NH-, -O-CO-NH-, S or O that allows its attachment either to one of the ends of the peptide chain [AA₃]_{a3}-[AA₂]_{a2}-[AA₁], or to the side chain of one of the amino acids AA₁, AA₂ or AA₃, and at least one of the spacer arms X, of the peptide chain [AA₃]_{a3}-[AA₂]_{a2}-[AA₁] and of R contains at least one tyrosine residue.

13. The compound as claimed in any one of claims 1 to 9, characterized in that it corresponds to formula (Ia):



(1a)

in which:

Su represents a group chosen from a
5 monosaccharide, an aminated monosaccharide derivative,
a polysaccharide, a polyol or a polyether;

AA₁ represents an amino acid carrying an acid,
amine, alcohol or thiol function on its side chain, by
means of which it is attached either to (X)_x-AP or to
10 Y; AA₁ is attached to Su and either to (X)_x-AP, or to Y,
via its N- and C-terminal ends;

AP represents an active principle capable of
acting on a biological target;

x represents an integer chosen from 0 and 1;

15 X represents a peptide chain comprising from 1 to
5 amino acids;

Y represents a fluorinated C₄-C₁₂ hydrocarbon-based
chain containing a function chosen from $\overset{\text{O}}{\parallel} \text{C}-$, -NH, -O-CO-
NH-, S and O that allows its attachment either to one
20 of the ends of the amino acid AA₁, or to the side chain
of AA₁.

14. The compound as claimed in claim 13, characterized
in that one or more of the conditions below are
25 verified:

- Su represents a monosaccharide or a
polysaccharide;

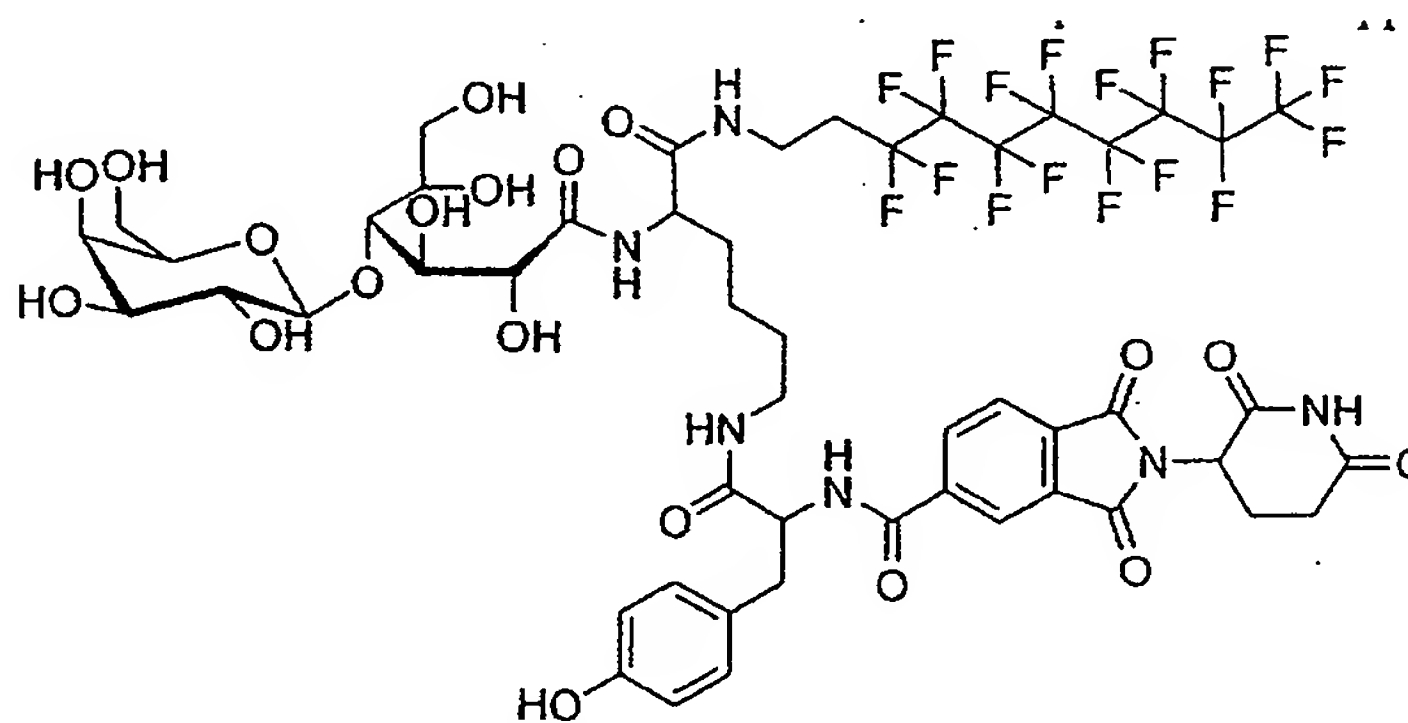
- X represents a spacer arm that is peptide in
nature, containing at least one tyrosine residue;

30 - AA₁ represents an amino acid chosen from
arginine and lysine;

- Y represents a fluorinated C₆-C₁₂ hydrocarbon-based chain containing from 5 to 23 fluorine atoms, attached to the amino acid AA₁ via an -NH- function.

5 15. The compound as claimed in claim 14, characterized in that the active principle is chosen from molecules capable of blocking the angiogenic process, in particular thalidomide.

10 16. The compound as claimed in claim 15, characterized in that it corresponds to formula A:



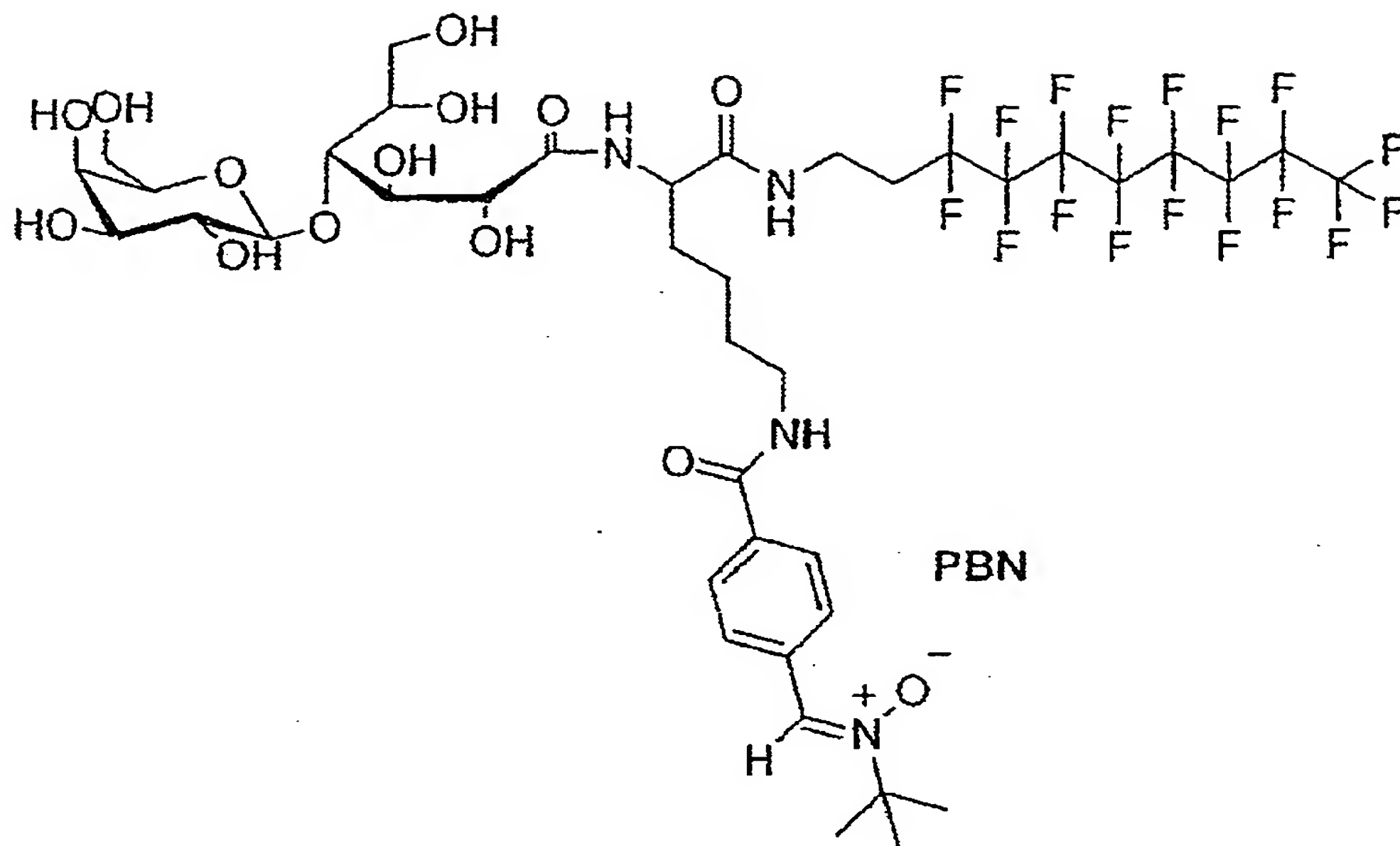
Molecule **A**

15

17. The compound as claimed in claim 15, characterized in that the active principle AP is chosen from free-radical scavengers, in particular N-benzylidene-tert-butylamine oxide derivatives.

20

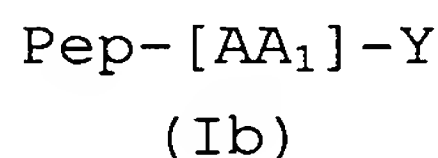
18. The compound as claimed in claim 17, characterized in that it corresponds to formula E:



Molecule **E**

19. The compound as claimed in claim 12, characterized in that it corresponds to formula (Ib):

5



in which:

10 AA_1 represents an amino acid carrying an acid, amine, alcohol or thiol function on its side chain,

Y represents a fluorinated $\text{C}_4\text{-C}_{12}$ hydrocarbon-based chain containing a function chosen from -C(=O)- , -NH- , -O-CO- , NH- , S and O that allows its attachment either to one of the ends of the amino acid AA_1 , or to the side chain of AA_1 ,

15

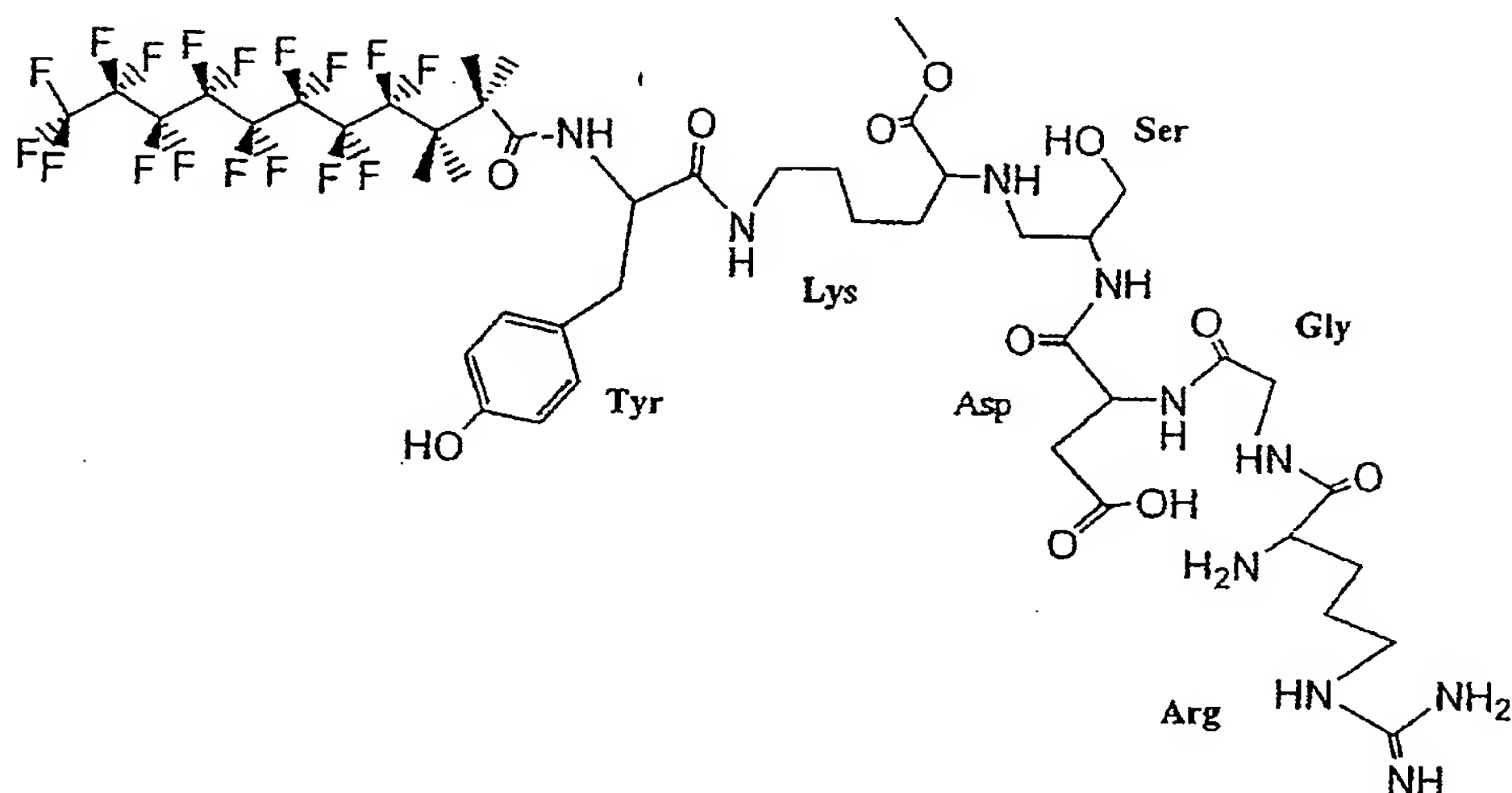
Pep represents a peptide chain containing from 2 to 10, preferably from 4 to 6, amino acids, at least one of Pep and of AA_1 containing at least one tyrosine unit.

20

20. The compound as claimed in claim 19, characterized in that Pep contains an arginine-glycine-aspartic acid sequence.

25 21. The compound as claimed in either one of claims 19

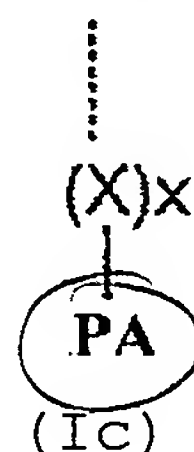
and 20, characterized in that it corresponds to formula B:



5

Molecule **B**

22. The compound as claimed in any one of claims 1 to 11, characterized in that it corresponds to formula (Ic):



10

in which:

AP represents an active principle capable of acting on a biological target;

15 Pep represents a peptide chain containing from 2 to 10 amino acids;

x represents an integer chosen from 0 and 1;

X represents a peptide chain comprising from 1 to 5 amino acids;

20 AA₁ represents an amino acid carrying an acid, amine, alcohol or thiol function on its side chain;

Y represents a fluorinated C₄-C₁₂ hydrocarbon-based chain containing a function chosen from $\text{—}\overset{\text{O}}{\underset{\text{||}}{\text{C}}}\text{—}$, —NH— , —O—CO—

NH-, S and O that allows its attachment either to one of the ends of the amino acid AA₁, or to the side chain of AA₁.

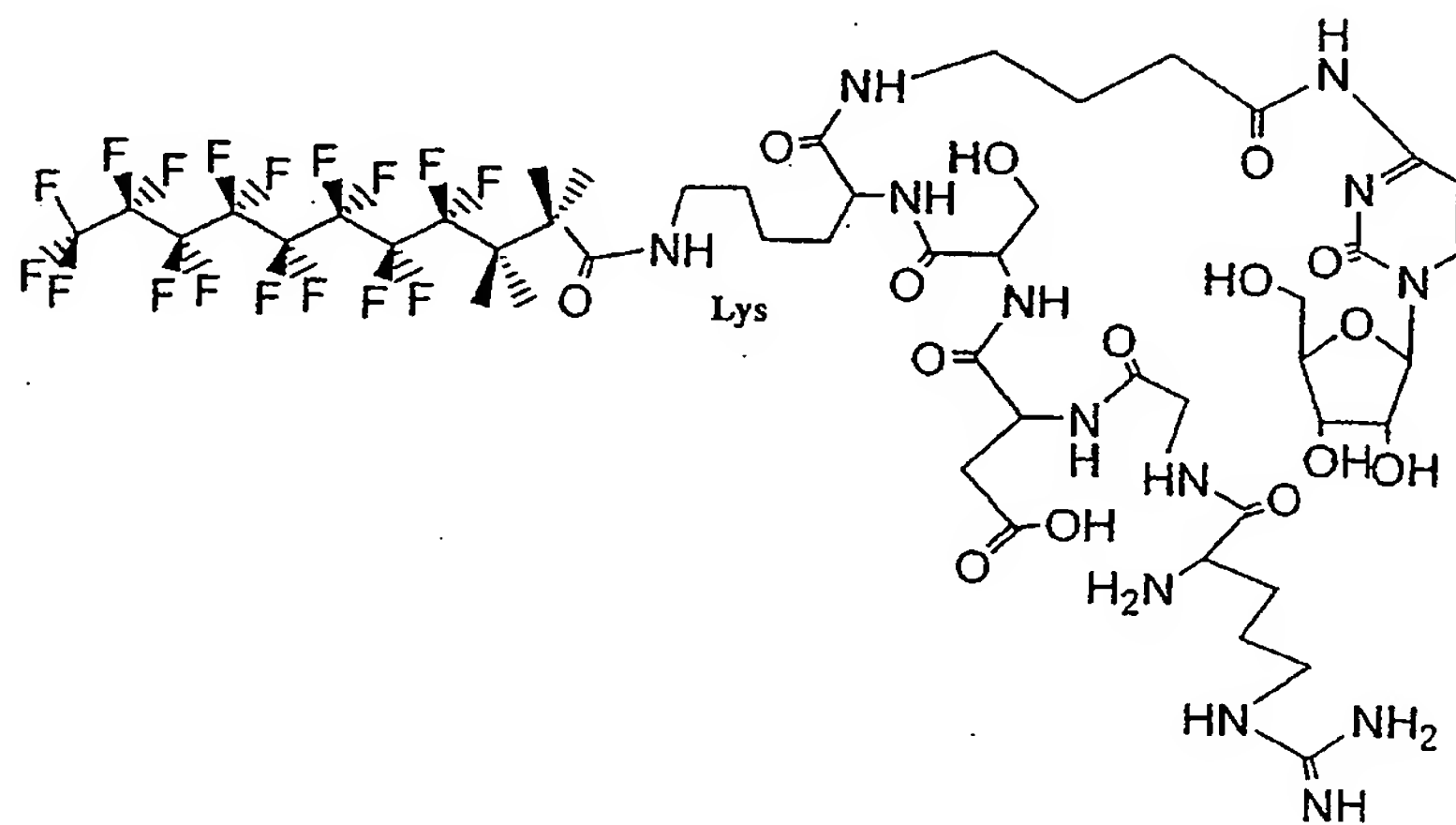
- 5 23. The compound as claimed in claim 22, characterized in that one or more of the conditions below are verified:

Pep is a peptide recognized by $\alpha V\beta 3$ integrins and AP is an antimitotic agent;

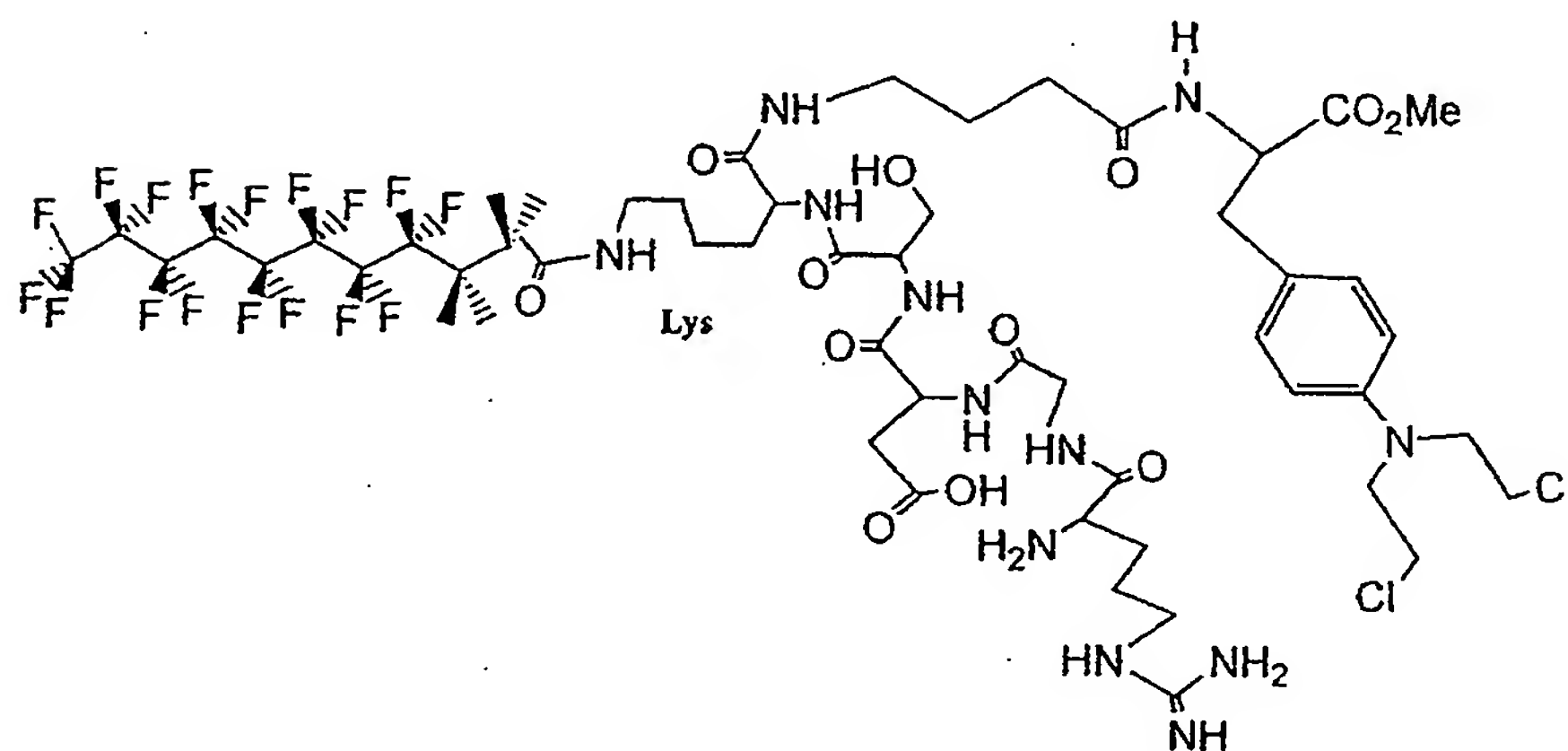
- 10 X, Pep or AA₁ contains at least one tyrosine residue;

X represents a chain of 1 to 3 amino acids.

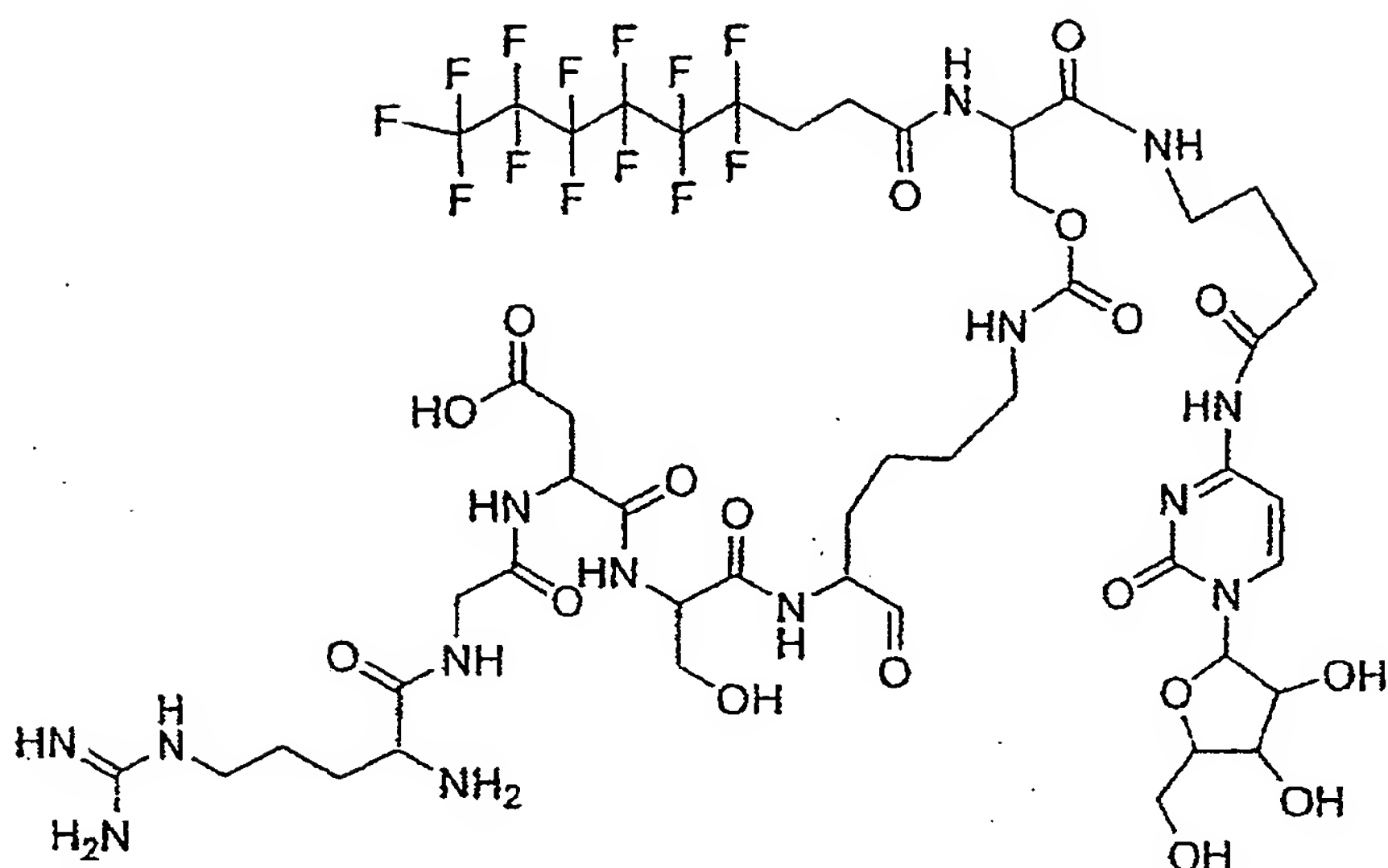
24. The compound as claimed in claim 22 or 23,
15 characterized in that it corresponds to one of formulae C, D and F:



Molecule C (Ara-C)



Molecule D (Melfalan)



Molecule **F**

25. The compound as claimed in claim 22, characterized
5 in that AP is adriamycin and X or Pep contain a Gly-
Phe-Leu-Gly fragment.
26. The compound as claimed in claim 22, characterized
10 in that AP is chosen from melphalan, 5-fluorouracil and
imatinib mesylate.
27. A pharmaceutical composition comprising a compound
as claimed in any one of claims 1 to 11 and 13 to 18 in
a pharmaceutically acceptable carrier.
15
28. The use of a compound of formula A, C, D or F as
claimed in either of claims 16 and 24, for preparing a
pharmaceutical composition intended to prevent and/or
treat cancer.
20
29. The use of a compound of formula B as claimed in
claim 21, for preparing a pharmaceutical composition
intended to detect the presence of cancerous cells.
- 25 30. The use of a compound of formula E as claimed in
claim 18, for preparing a pharmaceutical composition
intended to prevent and/or treat pathologies associated
with oxidative stress and with the formation of

oxygenated free-radical species.